CLAIMS

1. A process for preparing pharmaceutical granules which contain an active ingredient in the form of a salt, said process comprising the steps of:

(a) providing a powder containing the active ingredient as a free base or acid, and

(b) agglomerating the powder by adding a granulation liquid to form granules;

wherein step (b) is conducted in the presence of a neutralization agent capable of neutralizing the active ingredient, and for a sufficient amount of time to allow the active ingredient to become at least partially converted into a salt.

2. The process of claim 1, wherein step (b) is conducted for a sufficient amount of time and in the presence of a sufficient amount of neutralization agent to neutralize substantially all of the active ingredient contained in the powder.

3. The process of claim 1 or 2, wherein the free base or acid of the active ingredient contained in the powder has a water solubility at room temperature of less than 5 wt.-%.

4. The process of any of the preceding claims, wherein the salt formed by neutralizing the free base or acid of the active ingredient with the

neutralization agent has a water solubility at room temperature which is at least 1 wt.-%.

5. The process of any of claims 1 to 3, wherein the salt formed by neutralizing the free base or acid of the active ingredient with the neutralization agent has a water solubility at room temperature which is at least twice as high as that of the free base or acid.

6. The process of any of the preceding claims, wherein the granulation liquid is an aqueous liquid.

7. The process of any of the preceding claims, wherein the granulation liquid comprises at least one organic solvent selected from alcohols, acetone and methylene chloride.

8. The process of any of the preceding claims, wherein the granulation liquid comprises at least one organic solvent selected from ethanol, methanol, isopropanol and mixtures thereof.

9. The process of any of the preceding claims, wherein the neutralization agent is provided as a component of the powder.

10. The process of any of claims 1 to 8, wherein the neutralization agent is provided as a component of the granulation liquid.

11. The process of any of the preceding claims, wherein step (b) is carried out in a mixer, high-shear mixer, fluid-bed granulator, or rotary granulator.

12. The process of any of the preceding claims, wherein the granulation liquid is added to the powder by spraying the liquid through a nozzle onto the powder.

13. Pharmaceutical granules containing an active ingredient in the form of a salt, said granules being obtainable by a process comprising the steps of:

(a) providing a powder containing the active ingredient as a free base or acid; and

(b) agglomerating the powder by adding a granulation liquid to form granules;

wherein step (b) is conducted in the presence of a neutralization agent capable of neutralizing the active ingredient and for a sufficient amount of time to allow the active ingredient to become at least partially converted into a salt.

14. The granules of claim 13, characterized in that they are substantially free of polymeric excipients such as polymeric binders and disintegrants.

15. The granules of claim 13 or 14, comprising one or more additional excipients, preferably selected from the group of bulking agents, fillers, binders, surfactants, stabilizers, preservatives, antioxidants, disintegrants, coloring agents, taste masking agents, sweeteners, flavors, release modifiers, plasticizers, and compression aids.

16. The granules of claim 15, comprising a surfactant selected from the group of tyloxapol, polysorbates, phospholipids, and vitamin E-TPGS.

17. The granules of any of claims 13 to 16, comprising an excipient with a water solubility at room temperature of at least 10 wt.-%.

18. The granules of any of claims 13 to 17, comprising an excipient selected from the group of sugars and sugar alcohols.

19. The granules of any of claims 13 to 18, characterized in that they are soluble in water or in a physiologically acceptable aqueous vehicle at room temperature to form a solution which is suitable for inhalation.

20. The granules of claim 19, characterized in that they are dissolvable in water or in a physiologically acceptable aqueous vehicle within less than 30 seconds at room temperature.

21. The granules of any of claims 13 to 20, comprising an active ingredient selected from the group of salbutamol, levalbuterol, formoterol, fenoterol, salmeterol, bambuterol, brocaterol, tiotropium, oxitropium, ipratropium, lidocaine, procaine, cystein, cromoglycinic acid, beclomethasone, triamcinolone, amoxicillin, ceftibuten, cefoxitin, aztrenonam, colistin, tobramycin, doxycycline, sildenafil, vardenafil, barbituric acid derivatives, benzodiazepines, morphine, codeine, salicylic acid, and their derivatives, conjugates, isomers, epimers, diastereomers, or racemic mixtures.

22. The granules of any of claims 13 to 21, having a weight-average particle size between 100 and 800 µm.

23. A pharmaceutical composition, comprising granules according to any of claims 13 to 22.

24. The pharmaceutical composition of claim 23, constituting a tablet prepared by compressing the granules and, optionally, further excipients.

25. The pharmaceutical composition of claim 23, constituting a hard capsule filled with the granules and, optionally, further excipients.

26. The use of pharmaceutical granules for the pulmonary delivery of an active ingredient.

27. The use of claim 26, wherein the pharmaceutical granules are substantially free of polymeric excipients, such as polymeric binders.

28. The use of claim 26 or 27, wherein the pharmaceutical granules are substantially free of insoluble excipients.

29. The use of any of claims 26 to 28, wherein the pharmaceutical granules contain an active ingredient in the form of a salt, and wherein the granules have been prepared by a process comprising the steps of:

(a) providing a powder containing the active ingredient as a free base or acid; and

(b) agglomerating the powder by adding a granulation liquid to form granules;

wherein step (b) is conducted in the presence of a neutralization agent capable of neutralizing the active ingredient and for a sufficient amount of time to allow the active ingredient to become at least partially converted into a salt.

30. The use of any of claims 26 to 29, wherein the granules are dissolved in an aqueous carrier to prepare a solution for inhalation.

31. The use of claim 30, wherein the solution for inhalation is aerosolized with a nebulizer.